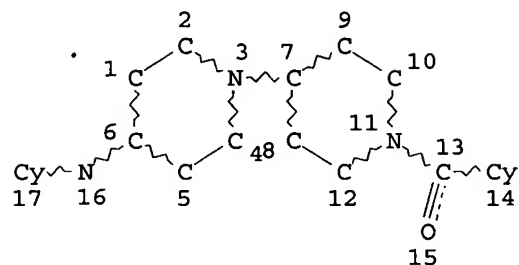


=> d l1
 L1 HAS NO ANSWERS
 L1 STR



NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC 8 3
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

=> s l1 .ful
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 FULL SCREEN SEARCH COMPLETED - 11358 TO ITERATE

100.0% PROCESSED 11358 ITERATIONS
 SEARCH TIME: 00.00.01

396 ANSWERS

L3 396 SEA SSS FUL L1

=> s l3 and pyrimid?
1065860 PYRIMID?
L8 204 L3 AND PYRIMID?

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	5.03	209.69
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-5.11

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FILE LAST UPDATED: 17 Oct 2005 (20051017/ED)

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=> s l8
L9 7 L8

=> s l9 and py<2001
20846668 PY<2001
L10 0 L9 AND PY<2001

=> d bib abs 1-7
L10 HAS NO ANSWERS
'BIB ABS ' IS NOT A VALID STRUCTURE FORMAT KEYWORD
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SIA ----- Structure Image, Attributes, and map table if it contains data. (Default)
SIM ----- Structure IMage.
SAT ----- Structure ATtributes and map table if it contains data.
SCT ----- Structure Connection Table and map table if it contains data.
SDA ----- All Structure DATA (image, attributes, connection table and map table if it contains data).
NOS ----- NO Structure data.
ENTER STRUCTURE FORMAT (SIA), SCT, SDA, SIM, SAT, NOS:end

=> d bib abs l9 1-7

L9 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:409505 CAPLUS
DN 142:463612
TI Preparation of bipiperidinyll derivatives as inhibitors of CCR5 receptors
IN Miller, Michael W.; Scott, Jack D.
PA Schering Corporation, USA
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005042517	A2	20050512	WO 2004-US36273	20041101
	WO 2005042517	A3	20050728		
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PRAI	US 2003-516954P	P	20031103		
OS	MARPAT 142:463612				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [M = (un)substituted-aryl, -heteroaryl, -N(alkyl)pyridone with provisions; R1, R2 and Z independently = H, alkyl, haloalkyl; R3 = H, aryl, haloalkyl, etc.; R4 = (un)substituted-aryl, -fluorenyl, -diphenylmethyl, etc.; A = H, alkyl, alkenyl] and pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of CCR5 receptors. Thus, e.g., II was prepared by coupling of III (preparation given) with N-Boc-sarcosine and subsequent treatment of the tert-Bu carbamate intermediate with 4N HCl. The activity of I was evaluated using chemotaxis and luciferase replication assays and it was revealed that selected compds. of the invention displayed IC50 values in the range of <0.1 up to 0.19 nM. I as inhibitors of CCR5 receptors should prove useful in the treatment of human immunodeficiency virus. Pharmaceutical compns. comprising I are disclosed.

L9 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:981365 CAPLUS

DN 141:379943

TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
PA Schering Corporation, USA; Pharmacoepia, Inc.

SO U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of U.S. Ser. No. 654,546.
CODEN: USXXCO

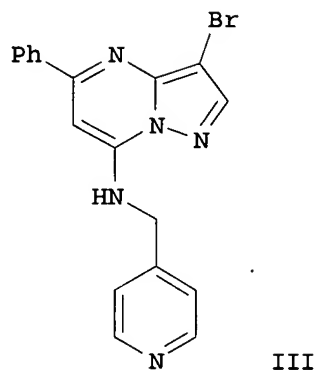
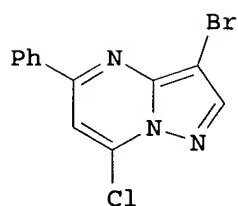
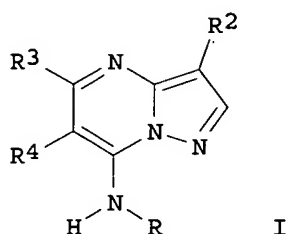
DT Patent
LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 2004209878	A1	20041021	US 2004-776988	20040211
	US 2004209878	A1	20041021	US 2004-776988	20040211
PRAI	US 2002-408027P	P	20020904		
	US 2002-421959P	P	20021029		
	US 2003-654546	A2	20030903		
	US 2004-776988	A	20040211		

GI



AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μ M and 0.029 μ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a

Part
III of I-III series.

L9 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:308430 CAPLUS

DN 140:321241

TI Preparation of heteroarylaminopiperidinylpiperidines as CCR5 chemokine receptor antagonists.

IN Albert, Rainer; Cooke, Nigel Graham; Thoma, Gebhard

PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SO PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.

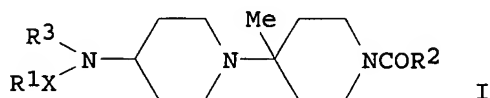
KIND

DATE

APPLICATION NO.

DATE

PI WO 2004031172 A1 20040415 WO 2003-EP11035 20031006
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 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
 GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT,
 LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
 RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN,
 YU, ZA, ZW
 RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,
 DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
 SI, SK, TR
 CA 2501243 AA 20040415 CA 2003-2501243 20031006
 EP 1551827 A1 20050713 EP 2003-798931 20031006
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 BR 2003015092 A 20050816 BR 2003-15092 20031006
 PRAI GB 2002-23223 A 20021007
 WO 2003-EP11035 W 20031006
 OS MARPAT 140:321241
 GI



AB Title compds. [I; (1) R2 = 2,4-dimethylpyridin-3-yl-N-oxide, (a) R1 = thienyl, furyl, thiazolyl, 2-methylthiazolyl, R3 = benzo[1,3]dioxolyl, (halo)phenyl; or (b) R1 = Ph substituted by SO2Me, cyano, X = CH2, R3 = Ph; or (c) R1 = Ph, X = bond, R3 = pyridyl; or (2) R2 = 2,6-dimethylphenyl, (a) R1 = pyridyl, Ph optionally substituted by CO2H, alkoxy carbonyl, 2-methylthiazolyl, indolyl, benzimidazol-2-yl; X1 = CH2, CH2CH2; R3 = (halo)phenyl; (b) R1 = Ph, X = bond, R3 = pyridyl, or R1 = 2-methylthiazolyl, X = CH2, R3 = 1-methylindolyl; (3) R2 = 2,4-dimethylpyridin-3-yl, (a) R1 = 2-methylthiazolyl, X = bond, R3 = Ph; etc.], were prepared I (R1 = 2-pyridyl; R2 = 2,4-dimethylpyridin-3-yl-N-oxide; R3 = Ph; X = null) inhibited CCR5 in a Ca2+ mobilization assay with IC50 = 29 nM.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:265849 CAPLUS
 DN 140:321371
 TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
 IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.;
 Girijavallabhan, Viyyoor Moopil; Mallams, Alan; Alvarez, Carmen S.;
 Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-yau; Madison, Vincent;
 Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min;
 James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs,
 Douglas Walsh
 PA Schering Corporation, USA
 SO PCT Int. Appl., 609 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 6

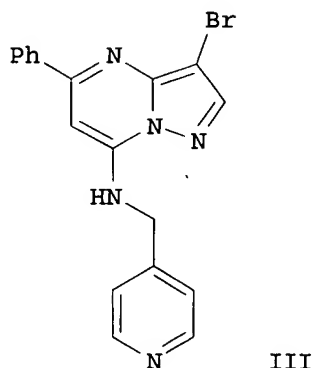
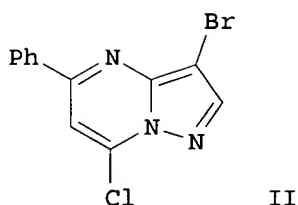
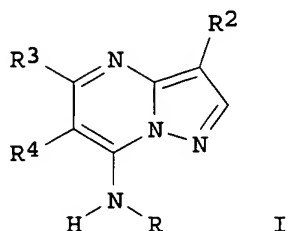
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PI	WO 2004022561	A1	20040318	WO 2003-XB327555	20030903
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CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
 ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
 MG, MK, MN, MX, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG,
 SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM,
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 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
 NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
 GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-408027P P 20020904

US 2002-421959P P 20021029

GI



AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μ M and 0.029 μ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a

Part
 III of I-III series.

L9 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:196486 CAPLUS

DN 140:368098

TI Orally Bioavailable Competitive CCR5 Antagonists

AU Thoma, Gebhard; Nuninger, Francois; Schaefer, Marc; Akyel, Kayhan G.; Albert, Rainer; Beerli, Christian; Bruns, Christian; Francotte, Eric; Luyten, Marcel; MacKenzie, Duncan; Oberer, Lukas; Streiff, Markus B.; Wagner, Trixie; Walter, Hansrudolf; Weckbecker, Gisbert; Zerwes, Hans-Guenter

CS Novartis Institutes for BioMedical Research, Basel, CH-4056, Switz.
 SO Journal of Medicinal Chemistry (2004), 47(8), 1939-1955
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 AB The chemokine receptor CCR5 plays an important role in inflammatory and autoimmune disorders as well as in transplant rejection by affecting the trafficking of effector T cells and monocytes to diseased tissues. Antagonists of CCR5 are believed to be of potential therapeutic value for the disorders mentioned above and HIV infection. Here we report on the structure-activity relationship of a new series of highly potent and selective competitive CCR5 antagonists. While all compds. tested were inactive on rodent CCR5, this series includes compds. that cross-react with the cynomolgus monkey (cyno) receptor. One of these compds., i.e., 26n, has good PK properties in cynos, and its overall favorable profile makes it a promising candidate for in vivo profiling in transplantation and other disease models.

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

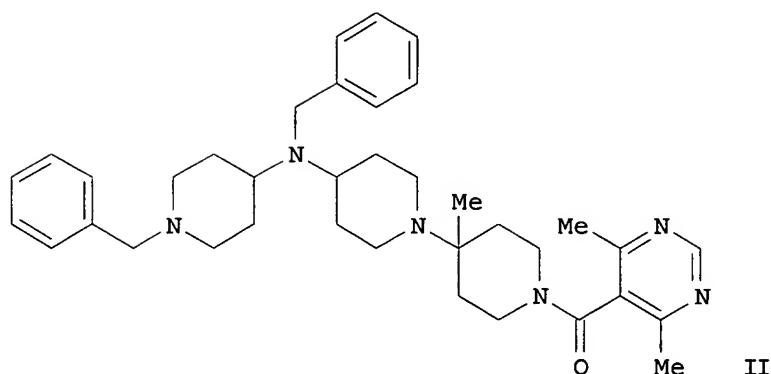
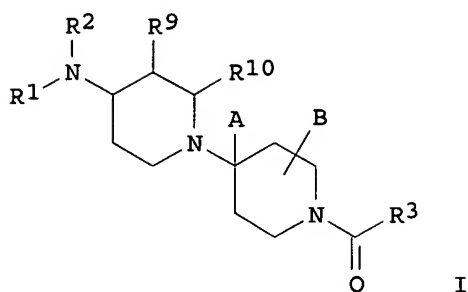
L9 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:202634 CAPLUS
 DN 138:238191
 TI Preparation of 1-[1-(pyrimidin-5-ylcarbonyl)piperidin-4-yl]piperidin-4-
 amines as CCR5 antagonists
 IN Palani, Anandan; Miller, Michael W.; Scott, Jack D.
 PA Schering Corporation, USA
 SO PCT Int. Appl., 105 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003020716	A1	20030313	WO 2002-US27389	20020828
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	CA 2457861	AA	20030313	CA 2002-2457861	20020828
	US 2004010008	A1	20040115	US 2002-229466	20020828
	EP 1421075	A1	20040526	EP 2002-766142	20020828
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002012108	A	20040824	BR 2002-12108	20020828
	CN 1551877	A	20041201	CN 2002-816679	20020828
	JP 2005502682	T2	20050127	JP 2003-524986	20020828
	US 2004092745	A1	20040513	US 2003-628933	20030729
	US 2004092551	A1	20040513	US 2003-629466	20030729
	ZA 2004001594	A	20041124	ZA 2004-1594	20040225
	NO 2004001266	A	20040326	NO 2004-1266	20040326
PRAI	US 2001-315683P	P	20010829		
	US 2002-229466	A3	20020828		
	WO 2002-US27389	W	20020828		

OS MARPAT 138:238191
 GI



AB The title compds. [I; R1 = piperidinyl, Ph, etc.; R2 = CH2Ph, 4-pyridylmethyl, etc.; R3 = 4,6-dimethylpyrimidine-5-yl, Ph, etc.; R9, R10, B = H, alkyl, haloalkyl; A = H, alkyl, alkenyl] and their pharmaceutically acceptable salts, useful, alone or in combination with another agent, in the treatment of Human Immunodeficiency Virus (HIV), solid organ transplant rejection, graft v. host disease, arthritis, rheumatoid arthritis, inflammatory bowel disease, atopic dermatitis, psoriasis, asthma, allergies or multiple sclerosis, were prepared E.g., a 6-step synthesis of II, starting from 4-hydroxypiperidine and N-Boc-4-piperidone, which showed IC50 of 1.7 nM in luciferase HIV replication assay, was given.

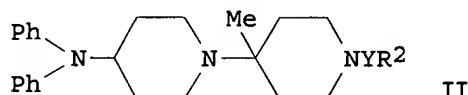
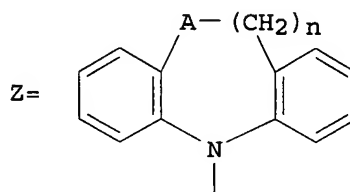
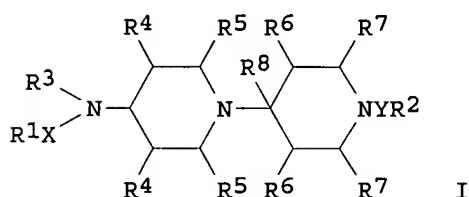
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:793604 CAPLUS
DN 137:310816
TI Preparation of bipiperidinyl-derivatives and their use as chemokine receptors inhibitors
IN Albert, Rainer; Bruns, Christian; Nuninger, Francois; Streiff, Markus; Thoma, Gebhard; Zerwes, Hans-Guenter
PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002081449	A1	20021017	WO 2002-EP3871	20020408
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 LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG,
 SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM
 RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
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CA 2439241	AA	20021017	CA 2002-2439241	20020408
EP 1379504	A1	20040114	EP 2002-730122	20020408
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CN 1501915	A	20040602	CN 2002-807950	20020408
BR 2002008741	A	20040622	BR 2002-8741	20020408
JP 2004525174	T2	20040819	JP 2002-579437	20020408
NZ 528712	A	20050729	NZ 2002-528712	20020408
ZA 2003006432	A	20040604	ZA 2003-6432	20030819
US 2004142920	A1	20040722	US 2003-472653	20030922
NO 2003004324	A	20030926	NO 2003-4324	20030926
PRAI GB 2001-8876	A	20010409		
WO 2002-EP3871	W	20020408		
OS MARPAT 137:310816				
GI				



AB Piperidine derivs. I [X = bond, CH₂, CH₂CH₂, CHR₉, CO, O, NH, NR₉; R₁ = R₁₀- and/or R₁₁-substituted Ph, heteroaryl, heteroaryl N-oxide, naphthyl; R₂ = R₁, R₁₀- and/or R₁₁-substituted fluorenyl or R₁₀-substituted C1-6-alkenyl, C2-6-alkenyl, C3-6-cycloalkyl, adamantyl, C4-8-cycloalkenyl; R₃ = R₂; R₁XNR₃ = optionally R₁₀-substituted Z; A = CH₂, NH, NR₉, S, SO, SO₂, O; n = 0 - 2; R₄, R₆ = R₅, CN, OH, OR₉, F, Cl, Br, I; R₅, R₇ = H, C1-6-alkyl, C1-6-hydroxyalkyl, C2-6-alkoxyalkyl, C1-6-haloalkyl, Ph, CH₂Ph, heteroaryl; R₈ = H, C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, Ph, CH₂Ph, CN, CH₂NH₂, CH₂NHR₉, CH₂N(R₉)₂, CH₂NHCOR₉, CH₂NR₉COR₉, CH₂NHCONHR₉, CH₂NR₉CONHR₉, CH₂NR₉CON(R₉)₂, CH₂NHCO₂R₉, CH₂NR₉CO₂R₉, CH₂NHSO₂R₉, CH₂N(SO₂R₉)₂, CH₂NR₉SO₂R₉; R₉ = C1-6-alkyl, C3-6-cycloalkyl, C2-6-alkenyl, C2-6-alkynyl, Ph, CH₂Ph, heteroaryl, CF₃] and their pharmaceutically acceptable salts, have interesting pharmaceutical properties, e.g., as CCR5 inhibitors. Piperidine derivs. I [R₁₀ = C1-6-alkyl, C1-6-hydroxyalkyl, C2-6-alkoxyalkyl, C1-6-haloalkyl, C3-6-cycloalkyl, C2-6-alkenyl, C2-6-cycloalkenyl, C2-6-alkynyl, Ph, heteroaryl, heteroaryl N-oxide, F, Cl, Br, I, OH, OR₉, CONH₂, CONHR₉, CON(R₉)₂, OC(:O)R₉, OCO₂R₉, OC(:O)NHR₉, OC(:O)NHR₉, OC(:O)N(R₉)₂, OSO₂R₉, CO₂H, CO₂R₉, CF₃, CHF₂, CH₂F, CN, NO₂, NH₂, NHR₉, N(R₉)₂, NHCOR₉, NR₉COR₉, NHCONHR₉, NHCONH₂, NR₉CONHR₉, NR₉CON(R₉)₂, NHCO₂R₉, NR₉CO₂R₉, NHSO₂R₉, N(SO₂R₉)₂, NR₉SO₂R₉, SiMe₃, B(OCMe₃); R₁₁ = two adjacent substituents which form an annulated 4 - 7 membered ring containing up to two heteroatoms of the group N, O, S; Y = bond, CO, COCH₂, SO, SO₂, CS, CH₂, C(CH₂CH₂), CHR₅, C(R₄)₂] have

interesting pharmaceutical properties, e.g., their use as chemokine receptors inhibitors. A process for the preparation of I comprises; (a) amidating I (YR2 = H) with R2Y'A' [Y' = CO, COCH2, SO, SO2]; A' = leaving group, e.g., Cl, Br, OH; (b) reductive amidation of I (YR2 = H); or (c) reacting I (XR1 = H) with R1X"-halogen (X" = CH2, CHR9). Thus, bipiperidinylbenzamide II (Y = CO, R2 = C6H3Me2-2,6) was prepared from bipiperidinamine II (Y = bond, R2 = H) and 2,6-Me2C6H3COCl in DMF containing EtN(CHMe2)2 and 2-(1H-benzotriazol-1-yl)-1,1,3,3-tetramethyluronium tetrafluoroborate. Bipiperidinamines I were tested as chemokine receptor inhibitors [IC50 = 2 - 3 nM vs. [I-125]MIP-1 α binding to human CCR5 membrane for I (R1 = R3 = Ph, R2 = C6H4Me2-2,6, R4 - R7 = H, R8 = Me, X = CH2, Y = C=O); IC50 = 10 μ M vs. Ca2+ mobilization for II; chemotaxis by I in presence of MIP-1 α , IC50 = \leq 1 μ M].

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d hitstr 7

L10 HAS NO ANSWERS

'HITSTR ' IS NOT A VALID STRUCTURE FORMAT KEYWORD

Structure Formats

SIA ----- Structure Image, Attributes, and map table if it contains data. (Default)

SIM ----- Structure Image.

SAT ----- Structure ATtributes and map table if it contains data.

SCT ----- Structure Connection Table and map table if it contains data.

SDA ----- All Structure Data (image, attributes, connection table and map table if it contains data).

NOS ----- NO Structure data.

ENTER STRUCTURE FORMAT (SIA), SCT, SDA, SIM, SAT, NOS:end

=> d hitstr 19 7

L9 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

IT 470689-17-9P 470689-20-4P 470689-21-5P

470689-23-7P 470689-27-1P 470689-32-8P

470689-43-1P 470689-57-7P 470689-60-2P

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470689-78-2P 470689-79-3P 470689-81-7P

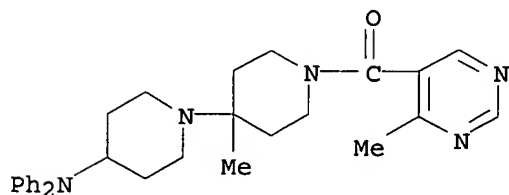
470689-85-1P 470689-86-2P 470689-90-8P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bipiperidinyl-derivs. and their use as chemokine receptors inhibitors)

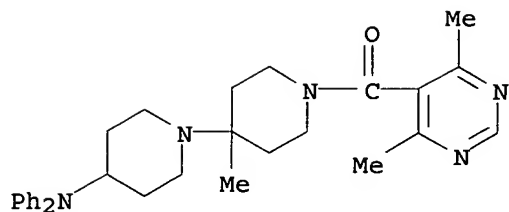
RN 470689-17-9 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 4'-methyl-1'-[(4-methyl-5-pyrimidinyl)carbonyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)



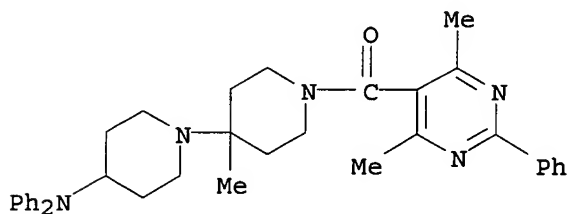
RN 470689-20-4 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(4,6-dimethyl-5-pyrimidinyl)carbonyl]-4'-methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



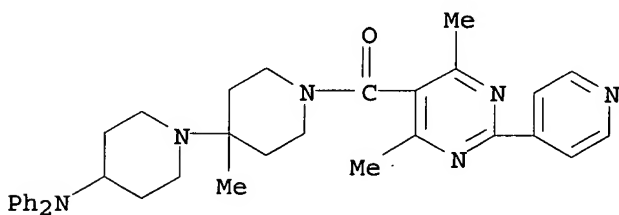
RN 470689-21-5 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(4,6-dimethyl-2-phenyl-5-pyrimidinyl)carbonyl]-4'-methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



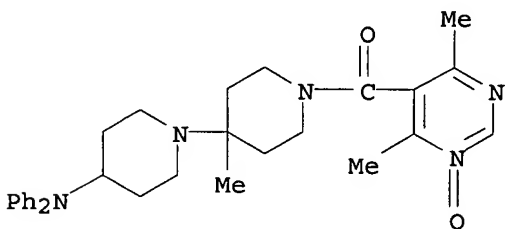
RN 470689-23-7 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[[4,6-dimethyl-2-(4-pyridinyl)-5-pyrimidinyl]carbonyl]-4'-methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



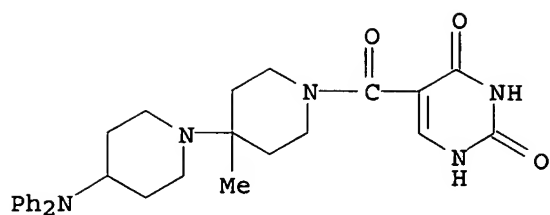
RN 470689-27-1 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(4,6-dimethyl-1-oxido-5-pyrimidinyl)carbonyl]-4'-methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



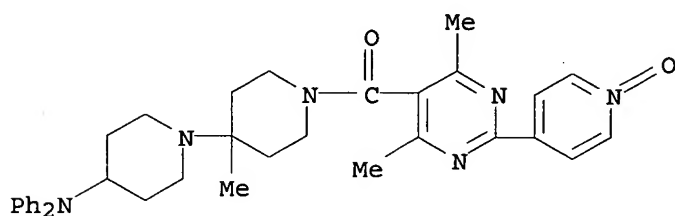
RN 470689-32-8 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 4'-methyl-N,N-diphenyl-1'-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]- (9CI) (CA INDEX NAME)



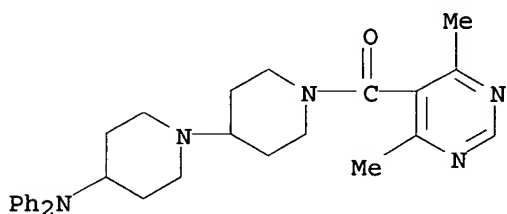
RN 470689-43-1 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'--[[4,6-dimethyl-2-(1-oxido-4-pyridinyl)-5-pyrimidinyl]carbonyl]-4'-methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



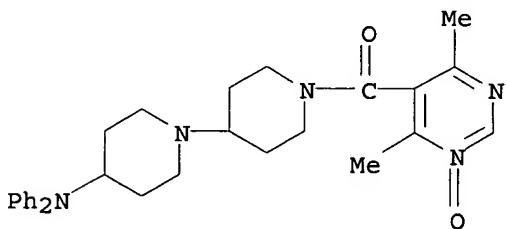
RN 470689-57-7 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'--[(4,6-dimethyl-5-pyrimidinyl)carbonyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)



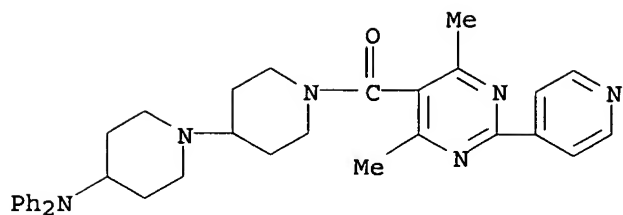
RN 470689-60-2 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'--[(4,6-dimethyl-1-oxido-5-pyrimidinyl)carbonyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)



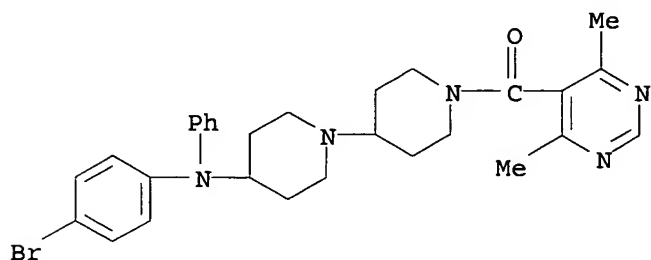
RN 470689-61-3 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'--[[4,6-dimethyl-2-(4-pyridinyl)-5-pyrimidinyl]carbonyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)



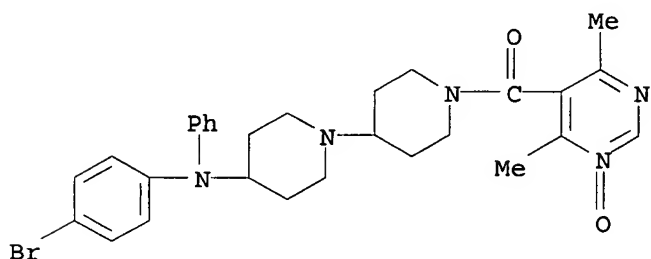
RN 470689-72-6 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1'--[(4,6-dimethyl-5-pyrimidinyl)carbonyl]-N-phenyl- (9CI) (CA INDEX NAME)



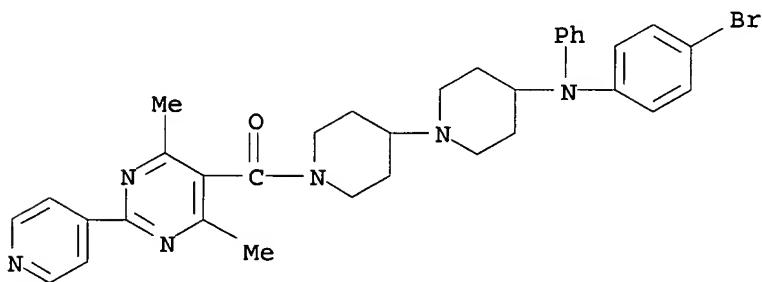
RN 470689-77-1 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1'--[(4,6-dimethyl-1-oxido-5-pyrimidinyl)carbonyl]-N-phenyl- (9CI) (CA INDEX NAME)



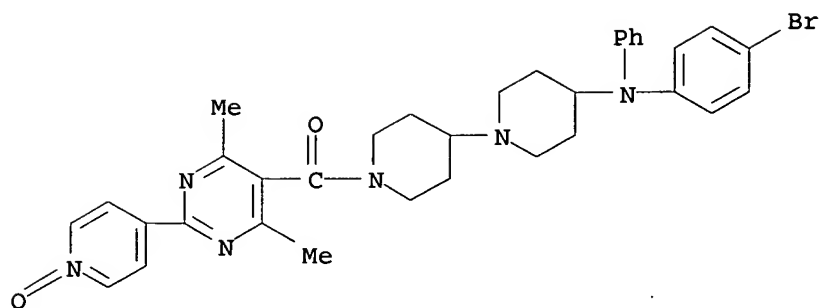
RN 470689-78-2 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1'--[[4,6-dimethyl-2-(4-pyridinyl)-5-pyrimidinyl]carbonyl]-N-phenyl- (9CI) (CA INDEX NAME)



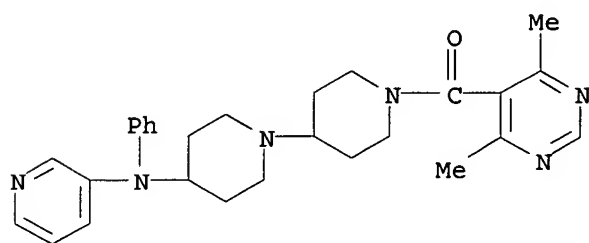
RN 470689-79-3 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1'--[[4,6-dimethyl-2-(1-oxido-4-pyridinyl)-5-pyrimidinyl]carbonyl]-N-phenyl- (9CI) (CA INDEX NAME)



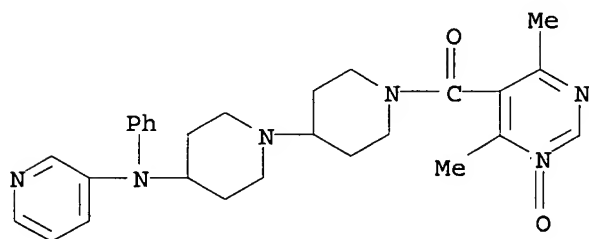
RN 470689-81-7 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'--[(4,6-dimethyl-5-pyrimidinyl)carbonyl]-N-phenyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



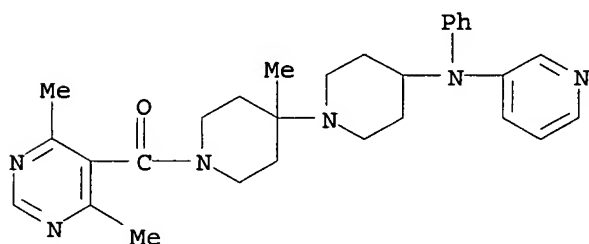
RN 470689-85-1 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'--[(4,6-dimethyl-1-oxido-5-pyrimidinyl)carbonyl]-N-phenyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



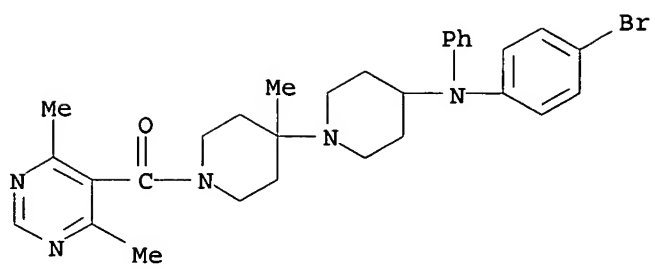
RN 470689-86-2 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'--[(4,6-dimethyl-5-pyrimidinyl)carbonyl]-4'-methyl-N-phenyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)

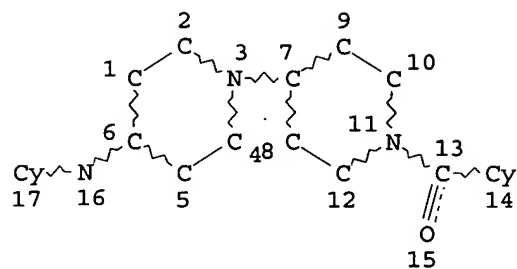


RN 470689-90-8 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1'--[(4,6-dimethyl-5-pyrimidinyl)carbonyl]-4'-methyl-N-phenyl- (9CI) (CA INDEX NAME)



=> d l1
 L1 HAS NO ANSWERS
 L1 STR



NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

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L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:582651 CAPLUS

DN 131:214192

TI Preparation of arylaminopiperidines as muscarinic M2 antagonists for treating memory loss

IN Asberom, Theodros; Lowe, Derek B.; Green, Michael J.

PA Schering Corporation, USA

SO U.S., 28 pp.

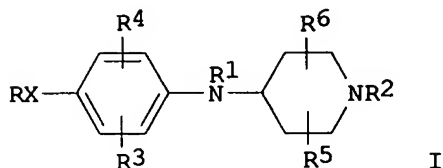
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5952349	A	19990914	US 1997-889486	19970708 <--
PRAI	US 1996-21691P	P	19960710		
OS	MARPAT 131:214192				
GI					



AB Title compds. [I; X = bond, O, S, SO, SO₂, CO, C(OR₇)₂, CH₂O, CH:CH, CH₂, CHA, CA₂, CONR₁₇, SO₂NR₁₇, etc.; R = cycloalkyl, (substituted) Ph, pyridyl, indolyl, quinolyl, etc.; R₁ = H, cyano, CF₃, A, cycloalkyl, cycloalkenyl, alkenyl, COR₁₅, CO₂A, etc.; R₂ = cycloalkyl, cycloalkenyl, BOC, (substituted) 4-piperidinyl; A = alkyl; R₃, R₄ = H, halo, CF₃, A, alkoxy, OH; R₅, R₆ = H, A, CF₃, alkoxy, OH, alkylcarbonyl, alkoxy carbonyl, etc.; R₇ = H, A; R₁₅ = H, A, cycloalkyl, aryl, heteroaryl; R₁₇ = H, alkyl, aryl, heteroaryl], were prepared Thus, I (R = 3,4-methylenedioxyphenyl; X = SO₂; R₁ = cyano; R₂ = cyclohexyl; R₃-R₆ = H) showed K_i = 0.44 nM for binding to M₂ receptors.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:65892 CAPLUS

DN 128:140691

TI Preparation of 1,4-disubstituted piperidines as muscarinic antagonists

IN Asberom, Theodros; Lowe, Derek B.; Green, Michael J.

PA Schering Corp., USA

SO PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9801425	A1	19980115	WO 1997-US11176	19970708 <--
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	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2259655	AA	19980115	CA 1997-2259655	19970708 <--
	CA 2259655	C	20030513		
	AU 9735810	A1	19980202	AU 1997-35810	19970708 <--
	AU 728592	B2	20010111		
	EP 912515	A1	19990506	EP 1997-932321	19970708 <--
	EP 912515	B1	20021113		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
	NZ 333513	A	20000428	NZ 1997-333513	19970708 <--
	JP 3068206	B2	20000724	JP 1998-505232	19970708 <--
	JP 11514671	T2	19991214		
	AT 227708	E	20021115	AT 1997-932321	19970708
	ES 2182104	T3	20030301	ES 1997-932321	19970708
	PT 912515	T	20030331	PT 1997-932321	19970708
	KR 2000023599	A	20000425	KR 1999-700045	19990107 <--
PRAI	US 1996-678618	A	19960710		
	WO 1997-US11176	W	19970708		
OS	MARPAT 128:140691				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

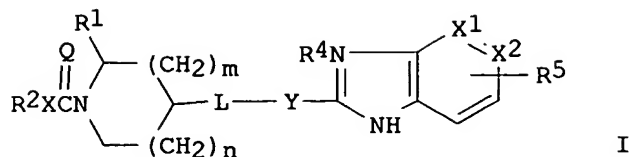
AB The title compds. [I; X = a bond, O, S, etc.; R = C3-6 cycloalkyl, II, III, etc.; R1 = H, CN, CF3, etc.; R2 = cycloalkyl, cycloalkenyl, t-butoxycarbonyl, (un)substituted 4-piperidinyll; R3, R4 = H, halo, CF3, etc.; R5, R6 = H, alkyl, CF3, etc.], useful for treating cognitive disorders such as Alzheimer's disease, were prepared Compds. I are capable of enhancing acetylcholine (ACh) release with an ACh'ase inhibitors. Thus, a 5-step detailed synthesis of the title compound IV is described. The title compound V showed Ki of 40.8 nM against m2 receptor binding and of 66.4 nM against m4 receptor binding.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

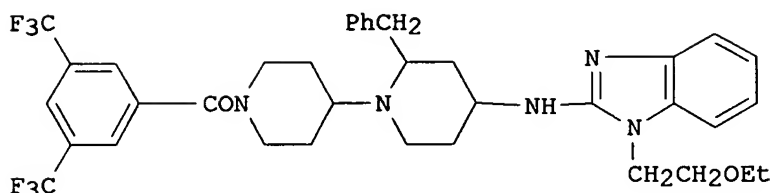
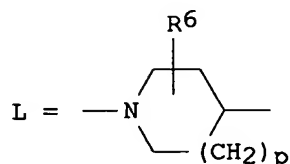
L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:516068 CAPLUS
DN 127:135802
TI N-acyl-2-substituted-4-(benzimidazolyl- or imidazopyridinyl)piperidines as tachykinin antagonists
IN Janssens, Frans Eduard; Sommen, Francois Maria; Surlerraux, Dominique Louis Nestor Ghislaine
PA Janssen Pharmaceutica N. V., Belg.
SO PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9724350	A1	19970710	WO 1996-EP5877	19961220 <--
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	SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,				
	IE, IT, LU, MC, NL, PT, SE, BF, CF, CG, CI, CM, GA, GN, ML, MR,				
	NE, SN, TD, TG				
	TW 429256	B	20010411	TW 1996-85115389	19961213
	CA 2238816	AA	19970710	CA 1996-2238816	19961220 <--
	AU 9713080	A1	19970728	AU 1997-13080	19961220 <--
	AU 707116	B2	19990701		
	EP 869955	A1	19981014	EP 1996-944686	19961220 <--
	EP 869955	B1	20011024		
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	SI, LT, LV, FI				
	CN 1206414	A	19990127	CN 1996-199406	19961220 <--
	CN 1117090	B	20030806		
	BR 9612326	A	19990713	BR 1996-12326	19961220 <--
	JP 2000502689	T2	20000307	JP 1997-524029	19961220 <--
	AT 207484	E	20011115	AT 1996-944686	19961220
	PT 869955	T	20020429	PT 1996-944686	19961220
	ES 2166915	T3	20020501	ES 1996-944686	19961220
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	PL 184489	B1	20021129	PL 1996-327440	19961220
	SK 283533	B6	20030911	SK 1998-829	19961220
	CZ 294199	B6	20041013	CZ 1998-1866	19961220
	ZA 9610894	A	19980623	ZA 1996-10894	19961223 <--
	NO 9802406	A	19980824	NO 1998-2406	19980527 <--
	NO 313291	B1	20020909		
	US 6110939	A	20000829	US 1998-102121	19980619 <--
	HK 1012187	A1	20020308	HK 1998-113363	19981215
PRAI	EP 1995-203650	A	19951227		
	EP 1995-203653	A	19951227		
	EP 1995-203652	A	19951227		
	WO 1996-EP5877	W	19961220		
OS	MARPAT 127:135802				
GI					



I



II

AB Title compds. I [n = 0-2; m = 1, 2; X = bond, O, S, NR₃; X₁, X₂ = CH, N; Q = O, NR₃; R₁ = aryl, aralkyl, diarylalkyl; R₂ = aryl, aralkyl, heterocyclyl, heteroxyxlylalkyl; L = Q₁; R₃ = H, alkyl; R₄ = (un)substituted alkyl; R₅ = H, halogen, OH, alkoxy; R₆ = H, alkyl, aralkyl; p = 0-2] were prepared for use as substance P antagonists. Thus, (±)-tert-Bu 7-benzyl-1,4-dioxo-8-azaspiro[4.5]decane-8-carboxylate was treated with 3,5-(F₃C)₂C₆H₃COCl, followed by 1-(2-ethoxyethyl)-2-(4-piperidinylamino)benzimidazole to give the title compound II. Cis-II gave 80.7% inhibition of substance P-induced relaxation of pig coronary artery at 3 X 10⁻⁸ M while trans-II gave 85.3 % inhibition.

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:499056 CAPLUS

DN 127:149078

TI Preparation of aroyl 4-piperidinopiperidides and analogs as tachykinin receptor antagonists

IN Jansseens, Frans Eduard; Sommen, Francois Maria; Surleraux, Dominique Louis Nestor Ghislaine

PA Janssen Pharmaceutica N. V., Belg.

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

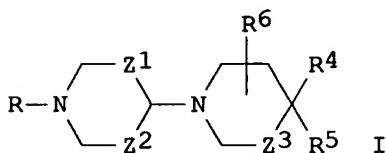
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9724324	A1	19970710	WO 1996-EP5883	19961220 <--
	W: AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	TW 531537	B	20030511	TW 1996-85115391	19961213

CA 2238818	AA	19970710	CA 1996-2238818	19961220 <--
AU 9713084	A1	19970728	AU 1997-13084	19961220 <--
AU 707037	B2	19990701		
EP 855999	A1	19980805	EP 1996-944691	19961220 <--
EP 855999	B1	20011004		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1206406	A	19990127	CN 1996-199389	19961220 <--
CN 1131854	B	20031224		
BR 9612334	A	19990302	BR 1996-12334	19961220 <--
JP 2000502690	T2	20000307	JP 1997-524031	19961220 <--
AT 206397	E	20011015	AT 1996-944691	19961220
ES 2164939	T3	20020301	ES 1996-944691	19961220
PT 855999	T	20020328	PT 1996-944691	19961220
IL 124640	A1	20020523	IL 1996-124640	19961220
SK 283555	B6	20030911	SK 1998-831	19961220
ZA 9610885	A	19980623	ZA 1996-10885	19961223 <--
NO 9802404	A	19980819	NO 1998-2404	19980527 <--
NO 310913	B1	20010917		
US 6169097	B1	20010102	US 1998-102295	19980622
HK 1011205	A1	20020308	HK 1998-112227	19981124
US 6346540	B1	20020212	US 2000-615523	20000713
PRAI EP 1995-203651	A	19951227		
WO 1996-EP5883	W	19961220		
US 1998-102295	A1	19980622		
OS MARPAT 127:149078				
GI				



AB Title compds. [I; R = C(:X)ZR₂; R₁ = (un)substituted (di)phenyl(alkyl); R₂ = (un)substituted phenyl(alkyl), heteroaryl(alkyl), etc.; R₄ = H, alkyl, alkoxy, carbonyl, Ph, etc.; R₅ = H, OH, NH₂, phenyl(alkoxy), etc.; R₄R₅ = atoms to form a ring; R₆ = H, OH, (phenyl)alkyl, alkoxy, etc.; X = O or (alkyl)imino; Z = bond, O, S, (alkyl)imino; Z₁ = CH₂ or CH₂CH₂; Z₂, Z₃ = bond, CH₂, CH₂CH₂] were prepared. Thus, 1,1-dimethylethyl 4-oxo-2-phenylmethylpiperidine-1-carboxylate was reductively condensed with N-(4-phenyl-4-piperidiny)acetamide and the product deprotected to give I (R₁ = CH₂Ph, R₄ = Ph, R₅ = NHAc, R₆ = H, Z₁ = Z₂ = Z₃ = CH₂) (II; R = H) which was amidated by 2,4-dimethylthiazole-5-carboxylic acid to give II (R = 2,4-dimethyl-5-thiazolylcarbonyl). Data for biol. activity of I were given.

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1986:50874 CAPLUS
 DN 104:50874
 TI N-(4-Piperidiny) bicyclic condensed 2-imidazolamine derivatives
 IN Janssens, Frans Eduard; Torremans, Joseph Leo Ghislaeus; Hens, Jozef Francis; Van Offenwert, Theophilus Theresia Joannes
 PA Janssen Pharmaceutica N. V., Belg.
 SO Eur. Pat. Appl., 68 pp.
 CODEN: EPXXDW
 DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 151824	A2	19850821	EP 1984-201812	19841206 <--
	EP 151824	A3	19851009		
	EP 151824	B1	19900404		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	US 4588722	A	19860513	US 1984-660670	19841015 <--
	CA 1246070	A1	19881206	CA 1984-469245	19841204 <--
	AT 51621	E	19900415	AT 1984-201812	19841206 <--
	ES 539266	A1	19860116	ES 1984-539266	19841231 <--
	AU 8537363	A1	19850801	AU 1985-37363	19850107 <--
	AU 575612	B2	19880804		
	JP 60174778	A2	19850909	JP 1985-251	19850107 <--
	RO 91075	B3	19870227	RO 1985-117231	19850107 <--
	PL 144514	B1	19880630	PL 1985-251476	19850107 <--
	DK 8500088	A	19850710	DK 1985-88	19850108 <--
	FI 8500078	A	19850710	FI 1985-78	19850108 <--
	FI 83781	B	19910515		
	FI 83781	C	19910826		
	NO 8500084	A	19850710	NO 1985-84	19850108 <--
	HU 37780	A2	19860228	HU 1985-62	19850108 <--
	HU 196389	B	19881128		
	ZA 8500186	A	19860827	ZA 1985-186	19850108 <--
	IL 74017	A1	19880331	IL 1985-74017	19850108 <--
	SU 1400509	A3	19880530	SU 1985-3838812	19851008 <--
	NO 8902563	A	19850710	NO 1989-2563	19890621 <--
PRAI	US 1984-569115	A	19840109		
	US 1984-660670	A	19841015		
	EP 1984-201812	A	19841206		
	NO 1985-84	A1	19850108		

OS CASREACT 104:50874

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; A = (un)substituted C₆H₆ or pyridine ring; R = H, alkyl; R₁ = H, alkyl, cycloalkyl, aralkyl, (alkyl)furanyl, (alkyl)imidazolyl, (halo)thienyl, pyridinyl, pyrazinyl, thiazolyl, (un)substituted Ph; R₂ = H, alkyl, cycloalkyl, aralkyl, alkanoyl, alkoxy carbonyl; R₃ = R₄Z, (un)substituted saturated heterocyclyl; R₄ = acyl, acylamino, acyloxy, acylthio, (un)substituted Ph, aryl, etc.; Z = alkylene] were prepared. Thus 3-chloro-2-nitropyridine was aminolyzed with 4-FC₆H₄CH₂NH₂ and the product hydrogenated to give N₃-[(4-fluorophenyl)methyl]-2,3-pyridinediamine. This was condensed with Et 4-isothiocyanatopiperidinecarboxylate to give pyridinylthiourea derivative II which was cyclized by heating in EtOH with HgO and S to give imidazopyridinamine III (R₅ = CO₂Et). The latter was decarboxylated by heating in 48% aqueous HBr to give III.2HBr (R₅ = H) which was alkylated with a p-methoxyphenethyl halide to give III (R₅ = 4-MeOC₆H₄CH₂CH₂) (IV). I are antihistaminics. In mice IV inhibited compound 48/80-induced lethality with an ED₅₀ of 0.08 mg/kg s.c. or orally.

=> d hitstr 5

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

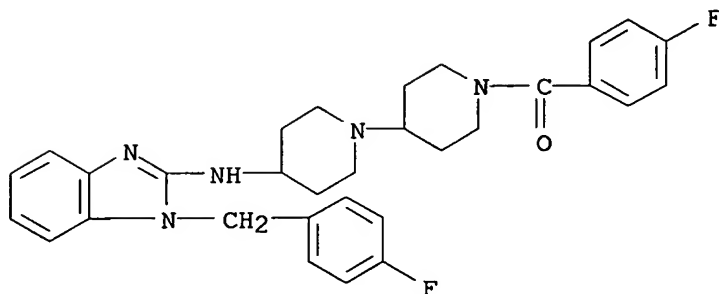
IT 99780-13-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antihistaminic)

RN 99780-13-9 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-(4-fluorobenzoyl)-N-[1-[(4-

fluorophenyl)methyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



=> d hitstr 4

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

IT 193479-17-3P 193479-38-8P 193479-39-9P

193479-45-7P 193479-62-8P 193479-63-9P

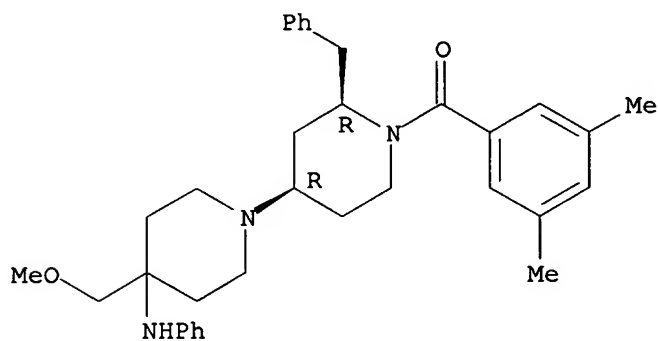
193479-76-4P 193479-77-5P 193479-78-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aroyl 4-piperidinopiperidides and analogs as tachykinin receptor antagonists)

RN 193479-17-3 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-dimethylbenzoyl)-4-(methoxymethyl)-N-phenyl-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

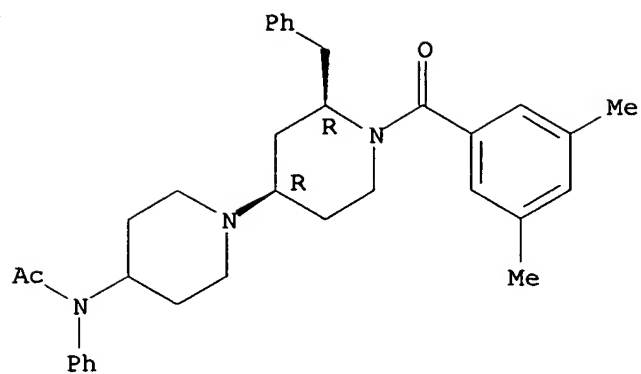
Relative stereochemistry.



RN 193479-38-8 CAPLUS

CN Acetamide, N-[1'-(3,5-dimethylbenzoyl)-2'-(phenylmethyl)[1,4'-bipiperidin]-4-yl]-N-phenyl-, cis- (9CI) (CA INDEX NAME)

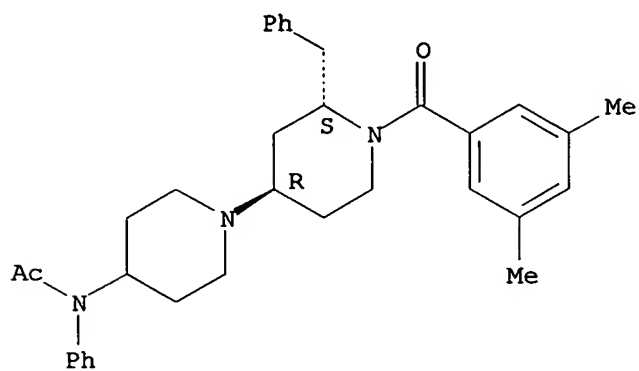
Relative stereochemistry.



RN 193479-39-9 CAPLUS

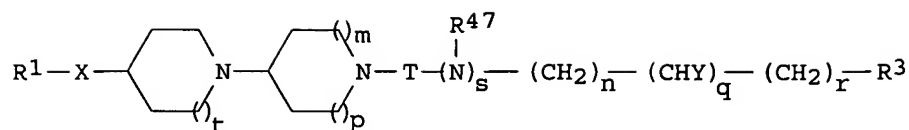
CN Acetamide, N-[1'-(3,5-dimethylbenzoyl)-2'-(phenylmethyl)[1,4'-bipiperidin]-4-yl]-N-phenyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

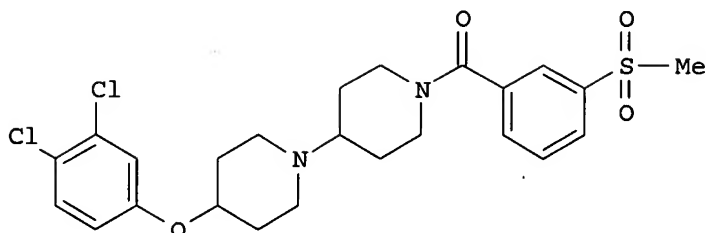


AN 2001:762989 CAPLUS
 DN 135:318419
 TI Synthesis of substituted bipiperidines and their use as H1 antagonists
 IN Lawrence, Louise; Rigby, Aaron; Sanganee, Hitesh; Springthorpe, Brian
 PA AstraZeneca AB, Swed.
 SO PCT Int. Appl., 160 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001077101	A1	20011018	WO 2001-SE751	20010405 <--
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	EP 1274701	A1	20030115	EP 2001-920053	20010405
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	JP 2003530393	T2	20031014	JP 2001-575574	20010405
	NZ 521543	A	20041029	NZ 2001-521543	20010405
	EP 1493743	A1	20050105	EP 2004-20599	20010405
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	AT 298748	E	20050715	AT 2001-920053	20010405
	US 2002077337	A1	20020620	US 2001-827488	20010406
	US 6525070	B2	20030225		
	ZA 2002007700	A	20040102	ZA 2002-7700	20020925
	NO 2002004774	A	20021129	NO 2002-4774	20021003
	US 2004006080	A1	20040108	US 2003-341027	20030113
	US 6903115	B2	20050607		
	US 2004014783	A1	20040122	US 2003-436582	20030513
	US 2005171092	A1	20050804	US 2005-76773	20050310
PRAI	GB 2000-8626	A	20000408		
	GB 2000-19111	A	20000803		
	SE 2000-3664	A	20001011		
	EP 2001-920053	A3	20010405		
	WO 2001-SE751	W	20010405		
	US 2001-827488	A3	20010406		
	US 2003-341027	A1	20030113		
	US 2003-436582	A3	20030513		
OS	MARPAT 135:318419				
GI					



I



II

AB Title compds. I [q, s, t = 0 - 1; n, r = 0 - 5; m, p = 0 - 2; X = CH, C(O), O, S, S(O), S(O), N-; provided that when m and p are both 1 then X is not CH; Y = NHR₂, OH; T = C(O), C(S), S(O), CH₂; R₁ = H, alkyl, aryl, heterocyclyl; R₂, R₄₇ = H, alkyl, aryl-alkyl, CO-alkyl; R₃ = alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, thioaryl, thioheterocyclyl] were prepared. Examples include: data for over 600 compds., 4 solid oral dosage and 1 parenteral (general) formulations, a bioassay for Ca²⁺ flux, human eosinophil chemotaxis and H₁ antagonism. E.g., 4-(3,4-dichlorophenoxy)piperidine was alkylated with 1-(tert-butoxycarbonyl)-4-piperidone (1,2-dichloroethane, NaBH(OAc)₃, HOAc, 18 h, room temperature) to give an intermediate [1,4']bipiperidine. This intermediate was deprotected (DCM, TFA, 4 h, room temperature) and the resulting bipiperidine condensed with 3-methanesulfonylbenzoic acid (THF, PYBROP, (i-Pr)₂NEt, 18 h, room temperature) to give example compound II isolated as the acetate salt. I are used in the treatment of a chemokine (such as CCR3) or H₁ mediated disease state.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

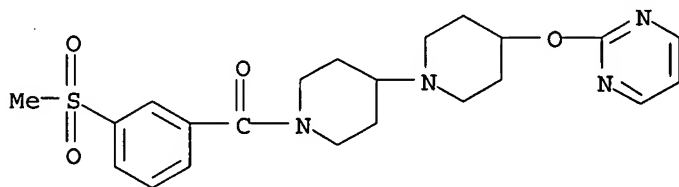
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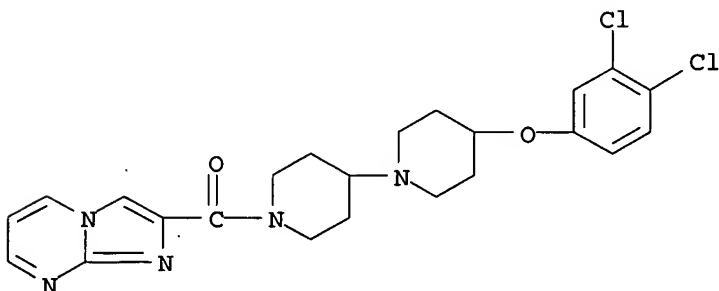
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RN 367500-34-3 REGISTRY
ED Entered STN: 07 Nov 2001
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FS 3D CONCORD
MF C22 H28 N4 O4 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



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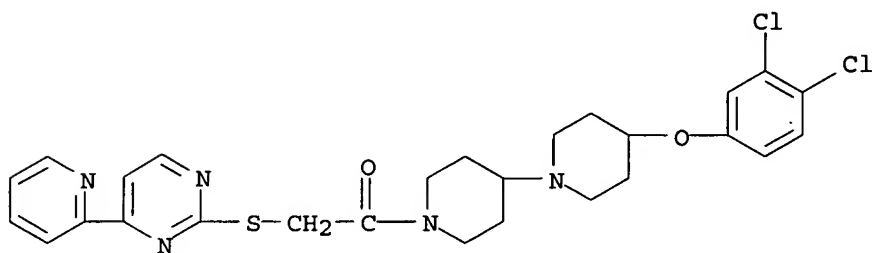
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RN 367499-26-1 REGISTRY
ED Entered STN: 07 Nov 2001
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FS 3D CONCORD
MF C23 H25 Cl2 N5 O2
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



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L15 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2005 ACS on STN
RN 367499-06-7 REGISTRY
ED Entered STN: 07 Nov 2001
CN 1,4'-Bipiperidine, 4-(3,4-dichlorophenoxy)-1'-[[[4-(2-pyridinyl)-2-pyrimidinyl]thio]acetyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 H29 Cl2 N5 O2 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



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